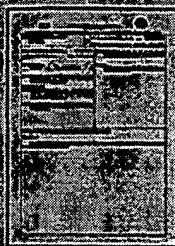


## Intellectual Property Network for Business

[IPN Home](#) | [Search](#) | [Order](#) | [Shopping Cart](#) | [Login](#) | [Help](#)WO9839293A2: 13-THIA PROSTAGLANDINS  
FOR USE IN GLAUCOMA THERAPY[View Images \(33 pages\)](#) | [View Cart](#)[Premium Data 1: PDF \(~3140 KB\)](#) | [TIFF \(~2480 KB\)](#) | [Fax](#) | [More choices...](#)

**Inventor(s):** ZINKE, Paul, W. , 4129 Willow Way Road, Fort Worth, TX 76133, United States of America  
HELLBERG, Mark, R. , 5211 Override Drive, Arlington, TX 76017, United States of America

**Applicant(s):** ALCON LABORATORIES, INC., 6201 South Freeway, Fort Worth, TX 76134-2099, United States of America

**Issued/Filed Dates:** Sept. 11, 1998 / March 6, 1998

**Application Number:** WO1998US0004505

**IPC Class:** C07C 405/00;

**Priority Number(s):** March 7, 1997 US1997060040051

**Designated Countries:** AU, BR, CA, CN, JP, KR, MX, US, **European patent:** AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

**Abstract:** 13-thia prostaglandins are useful in the treatment of glaucoma and ocular hypertension. Also disclosed are ophthalmic, pharmaceutical compositions comprising said prostaglandins.  
[\[Show "fr" Abstract\]](#)

**Attorney, Agent, or Firm:** COPELAND, Barry, L.;

**Foreign References:** none

(No patents reference this one)

Powered by DB2  
and Net Data

Nominate this  
invention  
for the Gallery...

Alternate  
Searches



[Patent Number](#)



[Boolean Text](#)



[Advanced Text](#)

PatentMiner

SEARCH PATENT FULL TEXT  
WITH NATURAL LANGUAGE

<sup>1</sup> **Premium Data:** Using the premium data links may invoke a charge to your account.

You can check the [pricelist here](#).

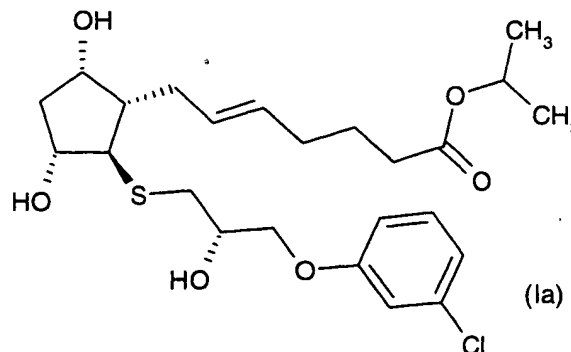
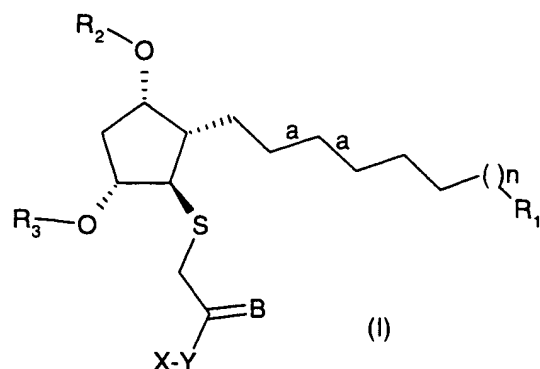
[Legal](#) | [IBM](#) | [FAQ](#) | [Feedback](#) | [Contact Us](#)

# Use of 13-thiaprostaglandin derivatives - for the treatment of glaucoma and ocular hypertension.

**Drug Activity:** Ophthalmological; Hypotensive

**Mechanism of Action:** Prostaglandin

**Compound Name:** None Given



**Use:** For the treatment of glaucoma and ocular hypertension (claimed).

**Dosage:** 0.01-1000 (0.1-100)  $\mu$ g/eye topically.

**Advantage:** Reduced side effects, increased discrimination amongst receptors, improved therapeutic profile.

**Biological Data:** (Ia) was tested for its intraocular pressure (IOP) lowering effect in cynomolgus monkey eyes where ocular hypertension had been induced. Baseline IOP values were determined prior to treatment and 16 hours after the fourth dose. 3.0 mg of (Ia) caused an 18  $\pm$  3.0% reduction in IOP, compared to a 5.8  $\pm$  4.0% reduction achieved under identical conditions using PGF2 $\alpha$ . Also presented is data showing that (Ia) causes less conjunctival hyperemia, conjunctival swelling and discharge than PGF2 $\beta$ .

**Chemistry:** The use of 13-thia prostaglandins of formula (I) is claimed for the treatment of glaucoma and ocular hypertension.

R1 = CO2R, ester, CONR4R5, CH2OR6 or CH2NR7R8; R = H or a cationic salt thereof; R4, R5 = H or alkyl. R6 = H, acyl or alkyl; R7, R8 = H, acyl, or alkyl provided that if either R7 or R8 = acyl, then the other = H or alkyl; n = 0 or 2; R2, R3 = H, alkyl or acyl; B = H, and OH in either configuration, H and F in either configuration, double bonded O, or OCH2CH2O; X = (CH2)q or (CH2)qO; q = 1-6; Y = 1-6C alkyl group, or a phenyl ring (optionally substituted); or X-Y = (CH2)pY1; p = 0-6; Y1 = further defined aromatic moiety; a = single or double bond.

(I) is e.g. (5Z)-(9S, 11R, 15S)-9,11,15-trihydroxy-16-m-chlorophenoxy-13-thia-17,18,19,20-tetranor-5-prostenoic acid isopropyl ester (Ia) (Example II).

33 pages

Drawings 0/0

**Authors:** Zinke P W; Hellberg M R  
**Publication Date:** 11 September 1998  
**Language:** English  
**Priority:** 07 March 1997 US-040051

**Location:** Fort Worth, Tex., USA  
**Document Number:** WO9839293-A2  
**Filed:** 06 March 1998 as U04505  
**Designated States:** Regional: AT BE CH DE DK ES FI  
FR GB GR IE IT LU MC NL PT SE National: AU BR  
CA CN JP KR MX US

WD-98-011852

PP - Cardiovascular

Page - 44

© 1998 Derwent Information